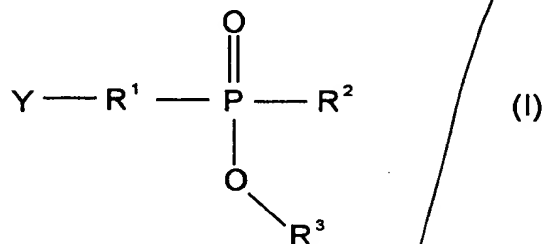


Patent claims:

1. A process using intermediates which are linked to a resin polymer for preparing chemical compounds of the formula (I)



in which

R^1 is an unsubstituted or substituted aromatic or heteroaromatic radical,

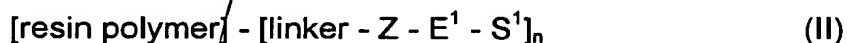
R^2 is hydrogen or an organic radical which may be linked to the rest of the compound of formula (I) via hetero atoms,

R^3 is hydrogen or an organic radical which is attached via a carbon atom and

Y is the functional group which is formed at the molecule of the formula (I) after the compound (I) has been cleaved off from the resin polymer,

which comprises

a) reacting a resin-linker adduct of the formula (II)



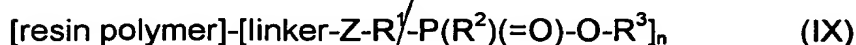
in which

$[\text{resin polymer}]$ is the radical of a resin which, in the resin-linker compound (II), is connected via n binding sites with the n groups of the formula $[\text{linker} - \text{Z} - \text{E}^1 - \text{S}^1]$,

linker is in each case an organic linker,

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- f) modifying the compounds obtained according to the abovementioned steps if required at the radicals E^1 , $(E^1)'$, E^2 and A^4 in such a manner that the resin-bound compound of the formula (IX) is obtained



in which R^1 , R^2 , R^3 are as defined in formula (I), and

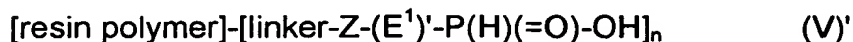
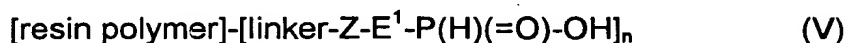
- g) cleaving the compound of the formula (I) from the resin-linker adduct of the formula (IX),

where in the formulae (IV) to (IX) and (IV)' to (VIII)' the radicals [resin polymer], linker, Z are as defined in formula (II) and E^1 or $(E^1)'$ in the formulae (V) to (VIII) or (V)' to (VIII)' are as defined in formula (IV) or (IV)'.

2. The process as claimed in claim ^{1b} 1, wherein R^1 is phenylene which is unsubstituted or substituted by 1 to 4 radicals selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, hydroxyl, amino, nitro, cyano, azido, alkoxycarbonyl, alkylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, acylamino, mono- and dialkylamino, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl and haloalkylsulfonyl, where each substituent may have up to 6 carbon atoms in the alkyl moiety,
- or is a heteroaromatic radical selected from the group consisting of the 5- or 6-membered ring having in each case 1, 2 or 3 hetero atoms selected from the group consisting of N, O and S, where the radical is unsubstituted or substituted by 1 to 4 radicals selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, hydroxyl, amino, nitro, cyano, azido, alkoxycarbonyl, alkylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, substituted amino such as acylamino, mono- and dialkylamino, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl and haloalkylsulfonyl, and where each substituent may have up to 6 carbon atoms in the alkyl moiety, and

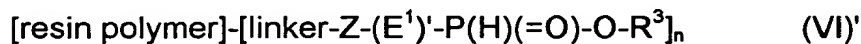
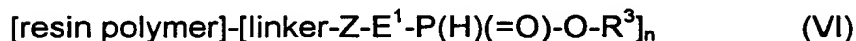
in which A^1 is as defined in formula (III), and

- c) hydrolyzing, if appropriate, the compound of the formula (IV) or (IV)' from step a) or b) to give a compound (V) or (V)' suitable for the resin-bound synthesis



and

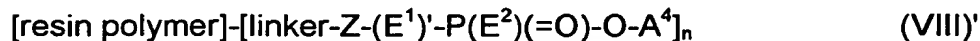
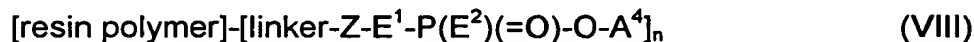
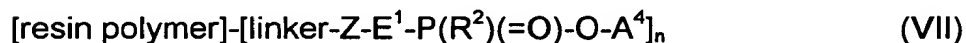
- d) esterifying, if appropriate, the compound (V) or (V)' obtained according to c) to give the compound of the formula (VI) or (VI)'



in which

R^3 is defined as R^3 in formula (I), but is not hydrogen, and

- e) reacting, if appropriate, a compound (IV), (V) or (VI) or (IV)', (V)' or (VI)' obtained according to a), b), c) or d), whose common structural feature is the phosphonous acid or phosphonous ester group, forming a phosphorus-carbon bond, to give compounds of the formulae (VII) or (VIII) or (VII)' or (VIII)'



in which

R^2 is as defined in formula (I),

E^2 is an organic radical which can be derivatized to the radical R^2 ,

$A^4 = A^1, H \text{ or } R^3$, and

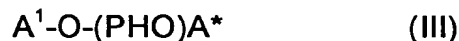
Z is a linker-specific functional group or bond which, after cleavage of the compound (I) from the resin polymer-linker radical, gives rise to the group Y in formula (I),

5 E¹ is defined as R¹ in formula (I) or is a radical which is suitable for preparing R¹ in compound (I),

S¹ is a functional group suitable for palladium-catalyzed substitutions analogous to the Heck reaction,

10 n is the number of the functional groups [linker-Z-E¹-S¹] at the resin, which depends on the molecular weight of the resin polymer and is greater than or equal to 1,

in the presence of a suitable palladium catalyst with a compound selected from the group of the phosphinates (derivatives of hypophosphoric acid) of the formula (III)

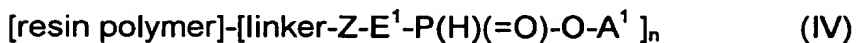


in which

A¹ is hydrogen or an organic radical,

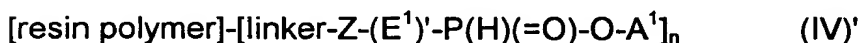
A* is a group which can be removed hydrolytically or after an intermediate reaction,

with substitution of the group S¹ to give a resin-bound compound of the formula (IV)



in which A¹ is as defined in formula (III), and

b) derivatizing, if appropriate, the compound (IV) in one or more further reaction steps at the organic radical E¹ to give the radical (E¹)', thus yielding one or more resin-bound intermediates of the formula (IV)'



R² is hydrogen, an aliphatic hydrocarbon radical which is unsubstituted or substituted and contains, inclusive of substituents, 1 to 30 carbon atoms,

R³ is hydrogen or an aliphatic hydrocarbon radical which is unsubstituted or

5 substituted and contains, inclusive of substituents, 1 to 30 carbon atoms, or is an aryl or heteroaryl radical which is unsubstituted or substituted and contains, inclusive of substituents, 1 to 30 carbon atoms, and

Y is H, COOH, CONH₂, OH, NH₂ or alkylamino.

a 10 3. The process as claimed in claim ^{1b}~~1~~, wherein
R² is hydrogen or an aliphatic acyclic or cyclic hydrocarbon radical having 1 to 20 carbon atoms or heterocyclyl having 3 to 7 ring atoms and 1, 2 or 3 hetero atoms selected from the group consisting of N, O and S, where the hydrocarbon radical or the heterocyclyl radical is in each case unsubstituted or substituted by one or more
15 radicals selected from the group consisting of halogen, alkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy, haloalkynyloxy, alkylthio, amino, nitro, cyano, azido, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, acylamino, mono- and dialkylamino, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, unsubstituted and substituted cycloalkyl, unsubstituted and substituted cycloalkenyl, unsubstituted and substituted aryl, unsubstituted and substituted heterocyclyl, unsubstituted and substituted cycloalkoxy, unsubstituted and substituted cycloalkenyloxy, unsubstituted and substituted aryloxy, unsubstituted and substituted
20 heterocyclyloxy, unsubstituted and substituted cycloalkylamino, unsubstituted and substituted cycloalkenylamino, unsubstituted and substituted arylamino, unsubstituted and substituted heterocyclylamino, and in the case of cyclic radicals also alkyl and haloalkyl.

a 30 4. The process as claimed in claim ^{1b}~~3~~, wherein
R² is a radical of the formula (R²a), (R²b), (R²c), (R²d) or (R²e),

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-CHOH-R*	(R ^{2a})
-CO-NH-R*	(R ^{2b})
-CHR**-NH-R*	(R ^{2c})
-Cr ^a R ^b -CR ^c R ^d -X-R ^e	(R ^{2d})
-R*	(R ^{2e})

in which

R* is an aliphatic acyclic or cyclic hydrocarbon radical having 1 to 12 carbon atoms or heterocyclyl having 3 to 6 ring atoms and 1, 2 or 3 hetero atoms selected from the group consisting of N, O and S, where the hydrocarbon radical or the heterocyclyl radical is in each case unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, alkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy, haloalkynyloxy, alkylthio, amino, nitro, cyano, azido, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, acylamino, mono- and dialkylamino, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, unsubstituted and substituted cycloalkyl, unsubstituted and substituted cycloalkenyl, unsubstituted and substituted aryl, unsubstituted and substituted heterocyclyl, unsubstituted and substituted cycloalkoxy, unsubstituted and substituted cycloalkenyloxy, unsubstituted and substituted aryloxy, unsubstituted and substituted heterocyclyloxy, unsubstituted and substituted cycloalkylamino, unsubstituted and substituted cycloalkenylamino, unsubstituted and substituted arylamino, unsubstituted and substituted heterocyclylamino, and in the case of cyclic radicals also alkyl and haloalkyl,

R** is a radical selected from the group of the radicals defined for R* or

R* and R** together are an alkylene bridge which is unsubstituted or substituted by one or more radicals which are, independently of one another, selected from the group of the substituents at the hydrocarbon radical for R*, and

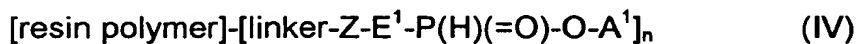
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R^a , R^b , R^c , R^d , R^e independently of one another are in each case a radical selected from the group of the radicals defined for R^* or R^a , R^c or R^d , R^e or R^c , R^e in pairs are an alkylene bridge which is unsubstituted or substituted by one or more radicals which are, independently of one another, selected from the group of the substituents at the hydrocarbon radical for R^* .

5. The process as claimed in claim ¹⁶~~1~~, wherein $R^3 = (C_1-C_4)$ alkyl and $Y = COOH$.

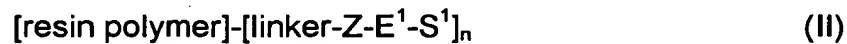
6. The process as claimed in claim ¹⁶~~1~~, wherein Z is a group of the formula $-O-CO-$ or $-NH-CO-$ and S^1 is an iodine atom.

7. A process for preparing a compound of the formula (IV)



in which A^1 , [resin polymer], linker, Z , E^1 and n are as defined in claim ¹⁶~~1~~ and

which comprises reacting a resin-linker adduct of the formula (II)



in which

[resin polymer], linker, Z , E^1 and n are as defined in formula (IV) and S^1 is a functional group suitable for palladium-catalyzed substitutions analogous to the Heck reaction,

in the presence of a suitable palladium catalyst with a compound selected from the group of the phosphinates (derivatives of the hypophosphoric acid) of the formula (III)



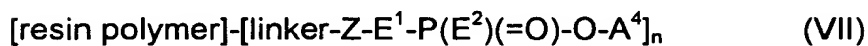
in which

A^1 is hydrogen or an organic radical,

A^* is a group which can be removed hydrolytically or after an intermediate reaction,

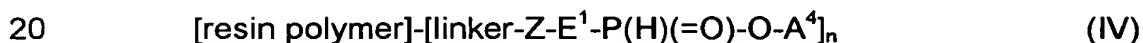
10 with substitution of the group S^1 to give the compound of the formula (IV).

8. A process for preparing a compound of the formula (VII)



in which A^4 , [resin polymer], linker, Z, E^1 , E^2 and n are as defined in claim 1, ¹⁶

which comprises reacting a resin-linker adduct of the formula (IV)

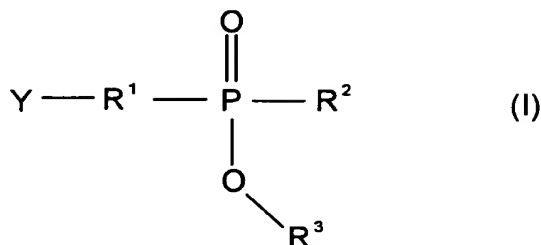


in which A^4 , [resin polymer], linker, Z, E^1 and n are as defined in formula (VII),

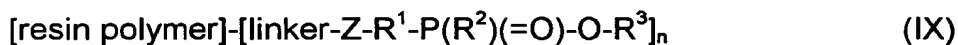
25 with an electrophile, forming a phosphorus-carbon bond, to give compounds of the formulae (VII).

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9. A process for preparing compounds of the formula (I)



which comprises cleaving the compound of the formula (I) from a resin-linker adduct of the formula (IX)



where in the formulae (I) and (IX) the radicals [resin polymer], linker, Z, Y, R¹, R², R³ and the number n are as defined in claim 1.

10. A compound of the formula (II), (IV), (IV)', (V), (V)', (VI), (VI)', (VII), (VII)', (VIII), (VIII)' and (IX), as defined in claim 1.
11. A compound library, comprising compounds of the formula (II), (IV), (IV)', (V), (V)', (VI), (VI)', (VII), (VII)', (VIII), (VIII)' or (IX), as defined in claim 1, or mixtures thereof.
12. A compound library, comprising compounds of the formula (I) as defined in claim 1.

13. A compound library, comprising compounds of the formula (I), (IV), (IV)', (V), (V)', (VI), (VI)', (VII), (VII)', (VIII), (VIII)' or (IX), prepared according to a process as claimed in claim 1.

5

14. A method for testing compounds for biological activity as medicament or crop protection agent which comprises testing compounds from a compound library as claimed in claim 11.

10 15. A method for testing compounds for biological activity as medicament or crop protection agent which comprises testing compounds from a compound library as defined in claim 13.

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